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INTERNATIONAL PRELIMINARY EXAMINATION REPORT
(PCT Article 36 and Rule 70)

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| Applicant's or agent's file reference 03486A65 | FOR FURTHER ACTION See Notification of Transmittal of International Preliminary Examination Report (Form PCT/IPEA/416) | |
| International application No. PCT/IT2003/000616 | International filing date (day/month/year) 13.10.2003 | Priority date (day/month/year) 13.10.2003 |
| International Patent Classification (IPC) or both national classification and IPC C07C29/40 | | |
| Applicant MITENI S.P.A. | | |

1. This international preliminary examination report has been prepared by this International Preliminary Examining Authority and is transmitted to the applicant according to Article 36.
2. This REPORT consists of a total of 5 sheets, including this cover sheet.
- ☐ This report is also accompanied by ANNEXES, i.e. sheets of the description, claims and/or drawings which have been amended and are the basis for this report and/or sheets containing rectifications made before this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions under the PCT).
- These annexes consist of a total of sheets.

3. This report contains indications relating to the following items:

- I ☒ Basis of the opinion
- II ☐ Priority
- III ☐ Non-establishment of opinion with regard to novelty, inventive step and industrial applicability
- IV ☐ Lack of unity of invention
- V ☒ Reasoned statement under Rule 66.2(a)(ii) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement
- VI ☐ Certain documents cited
- VII ☐ Certain defects in the International application
- VIII ☐ Certain observations on the international application

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|---|---|
| Date of submission of the demand 06.05.2005 | Date of completion of this report 05.01.2006 |
| Name and mailing address of the International preliminary examining authority:  European Patent Office D-80298 Munich Tel. +49 89 2399 - 0 Tx: 523656 epmu d Fax: +49 89 2399 - 4465 | Authorized Officer Heibl, C Telephone No. +49 89 2399-8331  |

**INTERNATIONAL PRELIMINARY
EXAMINATION REPORT**

International application No. PCT/IT2003/000616

I. Basis of the report

1. With regard to the **elements** of the international application (*Replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report since they do not contain amendments (Rules 70.16 and 70.17)*):

Description, Pages

1-8 as originally filed

Claims, Numbers

1-19 as originally filed

2. With regard to the **language**, all the elements marked above were available or furnished to this Authority in the language in which the international application was filed, unless otherwise indicated under this item.

These elements were available or furnished to this Authority in the following language: , which is:

- ☐ the language of a translation furnished for the purposes of the international search (under Rule 23.1(b)).
☐ the language of publication of the international application (under Rule 48.3(b)).
☐ the language of a translation furnished for the purposes of international preliminary examination (under Rule 55.2 and/or 55.3).

3. With regard to any **nucleotide and/or amino acid sequence** disclosed in the international application, the international preliminary examination was carried out on the basis of the sequence listing:

- ☐ contained in the international application in written form.
☐ filed together with the international application in computer readable form.
☐ furnished subsequently to this Authority in written form.
☐ furnished subsequently to this Authority in computer readable form.
☐ The statement that the subsequently furnished written sequence listing does not go beyond the disclosure in the international application as filed has been furnished.
☐ The statement that the information recorded in computer readable form is identical to the written sequence listing has been furnished.

4. The amendments have resulted in the cancellation of:

- ☐ the description, pages:
☐ the claims, Nos.:
☐ the drawings, sheets:

5. ☐ This report has been established as if (some of) the amendments had not been made, since they have been considered to go beyond the disclosure as filed (Rule 70.2(c)).

(Any replacement sheet containing such amendments must be referred to under item 1 and annexed to this report.)

6. Additional observations, if necessary:

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**V. Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability;
citations and explanations supporting such statement**

1. Statement

| | | |
|-------------------------------|-------------|------|
| Novelty (N) | Yes: Claims | 1-19 |
| | No: Claims | |
| Inventive step (IS) | Yes: Claims | 1-19 |
| | No: Claims | |
| Industrial applicability (IA) | Yes: Claims | 1-19 |
| | No: Claims | |

2. Citations and explanations

see separate sheet

Re Section V -----

D1: US-A-3 625 970
D2: WO 02/088058 A (abstract)
D3: EP-A-0 491 326

The closest prior art is disclosed in D1 (cf. column 4, lines 46-70). It teaches the preparation of 3,5-bis(trifluoromethyl)benzyl alcohol starting from 3,5-bis(trifluoromethyl)bromobenzene via the corresponding Mg-Grignard intermediate which is then reacted with formaldehyde obtained from paraformaldehyde according to the procedure described in Organic Synthesis vol. 1, page 188.

In contrast thereto, the presently claimed process is characterized by reacting the 3,5-bis(trifluoromethyl)-phenylmagnesium halide with **solid paraformaldehyde** in a solvent. The subject-matter of present claims 1-19 is thus novel (Art. 33(2) PCT).

D1, in combination with the reference (Organic Synthesis vol. 1, page 188) cited therein, may be considered to teach that the use of (gaseous) formaldehyde obtained from paraformaldehyde in the given reaction is more advantageous with respect to the yields achieved than the use of solid (not depolymerised) paraformaldehyde.

However, in accordance with the present invention, it has surprisingly be found that the formylation reaction of 3,5-bis(trifluoromethyl)-phenylmagnesium halide with **solid paraformaldehyde** may be carried out with ease and allows to obtain product yields comparable to those obtained with gaseous formaldehyde.

This finding cannot be derived from D1. This is also true when further taking into account documents D2 and D3. Indeed, D2 (abstract!) teaches in a similar reaction the use of "formaldehyde and/or a polymer thereof". However, the abstract does not give any information about the results (yields) achievable in each case.

D3 (see Example 2) is still more remote and is concerned with a somewhat different reaction (preparation of 2,4-bis-trifluoromethylbenzyl alcohol by metalating 1,3-bis-trifluoromethyl benzene with n-butyl lithium followed by reacting the Li-intermediate with paraformaldehyde).

The presence of an inventive step therefore can also be acknowledged (Art. 33(3) PCT).

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The subject-matter of claims 1-19 also meets the requirements of Art. 33(4) EPC
(industrial applicability).